

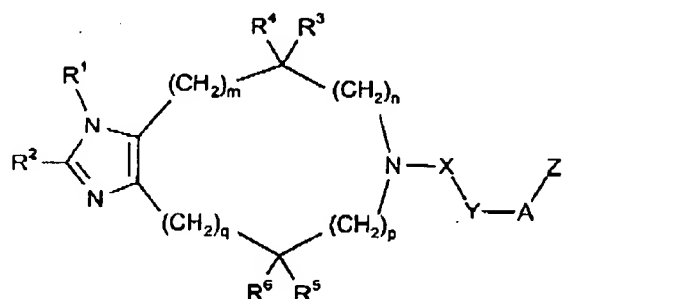
Attorney Docket No. 5390.200-US
 Dorwald et al.
 Serial No. 09/548,081 Filed April 12, 2000

CLAIM LISTING

What is claimed is:

1-101. (Cancelled)

102. (Currently amended) A compound of formula I



wherein

R¹ is hydrogen or a functional group which can be converted to hydrogen *in vivo*, wherein said functional group is selected from the group consisting of acyl, carbamoyl, monoalkylated carbamoyl, dialkylated carbamoyl, alkoxycarbonyl, C₁₋₆alkanoyl, aroyl, C₁₋₆alkylcarbamoyl, di-C₁₋₆alkylcarbamoyl, dialkylaminosulfonyl, C₁₋₆alkoxycarbonyl and 1-(C₁₋₆alkoxy)-C₁₋₆alkyl;

R² is hydrogen,

R³ and R⁴ independently are hydrogen, trifluoromethyl,

C₁₋₆-alkyl optionally substituted with C₃₋₈-cycloalkyl,

~~aryl optionally substituted with C₁₋₆-alkyl,~~ or

R³ and R⁴, together with the carbon atom to which they are connected, form a 3 to 8-membered, saturated or unsaturated, carbocyclic or heterocyclic ring optionally substituted with C₁₋₆-alkyl,

C₁₋₆-alkoxy, C₁₋₆-alkylthio, hydroxy, amino, C₁₋₆-alkylamino, di(C₁₋₆-alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroarylamino;

Attorney Docket No. 5390.200-US
Dorwald et al.
Serial No. 09/548,081 Filed April 12, 2000

R^5 and R^6 are H;

m, n, p are 0, and q is 1;

X is $-\text{CH}_2-$, $-\text{C}(=\text{O})-$, $-\text{C}(=\text{S})-$, $-\text{S}(=\text{O})-$, $-\text{S}(=\text{O})_2-$, $-\text{C}(=\text{N}-\text{CN})-$,
 $-\text{C}(=\text{CH}-\text{NO}_2)-$, $-\text{C}(=\text{C}(\text{CN})_2)-$, $-\text{C}(=\text{CH}-\text{CN})-$, or $-\text{C}(=\text{N}-\text{S}(=\text{O})_2\text{R}^{11a})-$,

R^{11a} is C_{1-6} -alkyl optionally substituted with

aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino, heteroaryl amino or
 C_{3-8} -cycloalkyl, which are optionally substituted with

C_{1-6} -alkyl, C_{1-6} -alkoxy, C_{1-6} -alkylthio, hydroxy, amino, C_{1-6} -alkylamino,
di(C_{1-6} -alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl,
heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroaryl amino,
aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl or heteroaryl sulfonyl, which are
optionally substituted with

C_{1-6} -alkyl, C_{1-6} -alkoxy, C_{1-6} -alkylthio, hydroxy, amino, C_{1-6} -alkylamino,
di(C_{1-6} -alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl,
heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroaryl amino,

Y is a valence bond, $-\text{O}-$ or $-\text{N}(\text{R}^{12})-$,

wherein R^{12} is

hydrogen,

C_{1-6} -alkyl optionally substituted with

aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino, heteroaryl amino or
 C_{3-8} -cycloalkyl, which are optionally substituted with

C_{1-6} -alkyl, C_{1-6} -alkoxy, C_{1-6} -alkylthio, hydroxy, amino, C_{1-6} -alkylamino,
di(C_{1-6} -alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl,
heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroaryl amino,
aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl or heteroaryl sulfonyl, which are
optionally substituted with

C_{1-6} -alkyl, C_{1-6} -alkoxy, C_{1-6} -alkylthio, hydroxy, amino, C_{1-6} -alkylamino,
di(C_{1-6} -alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl,
heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroaryl amino,

C_{1-6} -alkylsulfonyl optionally substituted with

Attorney Docket No. 5390.200-US
Dorwald et al.
Serial No. 09/548,081 Filed April 12, 2000

C₃₋₈-cycloalkyl, C₁₋₆-alkoxy, C₁₋₆-alkylthio, hydroxy, amino, C₁₋₆-alkylamino, di(C₁₋₆-alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroarylamino;

A is a valence bond or C₁₋₈-alkylene, C₂₋₈-alkenylene or C₂₋₈-alkynylene; and

Z is

Z is C₁₋₆-alkyl, phenyl, naphthyl, thienyl, cyclopentyl, cyclohexyl, cyclohexenyl, oxazolyl, indanyl, isoquinolyl, benzoyl or tetrahydronaphthyl which are optionally substituted with one to three substituents selected from the group consisting of C₁₋₆-alkyl, C₁₋₆-alkoxy, halogen, phenyl, di(C₁₋₆-alkyl)amino, C₃₋₈-cyclopropanecarbonyl, trifluoromethoxy and trifluoromethyl;

C₂₋₆-alkenyl or C₂₋₆-alkynyl, which are optionally substituted with aryl, arylamino, heteroarylamino, aroyl, heteroaroyl, arylsulfonyl, C₁₋₆-alkylsulfonyl, sulfonylamino, arylthio, heteroarylthio, aryloxy, acylamino, heteroaryl or C₃₋₈-cycloalkyl, which are optionally substituted with

C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₆-alkylthio, aryl-C₁₋₆-alkyl, heteroaryl-C₁₋₆-alkyl, nitro, arylamino, heteroarylamino, aroyl, heteroaroyl, arylsulfonyl, heteroarylsulfonyl, C₁₋₆-alkylsulfonyl, sulfonylamino, arylthio, heteroarylthio, aryloxy, acylamino, hydroxy, amino, C₁₋₆-alkylamino, di(C₁₋₆-alkyl)amino, halogen, cyano, trifluoromethoxy or trifluoromethyl,

-NR¹³R¹⁴, in which R¹³ and R¹⁴ are both phenyl, which phenyl groups are joined with a C₁₋₄-alkylene group to form a tricyclic ring system,

-CHR¹³R¹⁴, in which R¹³ is C₁₋₆-alkyl or phenyl, and R¹⁴ is phenyl, or R¹³ and R¹⁴ are both C₁₋₆-alkyl which are joined with C₁₋₄-alkylene linkers to form a polycarbocyclic ring system, or

-CR¹³R¹⁴R¹⁵, in which R¹³, R¹⁴ and R¹⁵ are C₁₋₆-alkyl which are joined with C₁₋₄-alkylene linkers to form a polycarbocyclic ring system,

wherein

Attorney Docket No. 5390.200-US
Dorwald et al.
Serial No. 09/548,081 Filed April 12, 2000

heteroaryl is a 3 to 7 membered monocyclic or a 9 to 14 membered bi- or tricyclic aromatic system containing one or more heteroatoms selected from N, O or S, which is optionally partially or fully hydrogenated;

heteroarylamino is a radical wherein a -(NH)- group is linked to a heteroaryl group;

heteroaroyl is a radical wherein a -(C=O)- group is linked to a heteroaryl group;

provided that

when X is -CS-, R^1 = hydrogen, the group -Y-A-Z must not start with the radical -NH-;

when X is -CO-, the group -Y-A-Z starts with the radical -NH-, R^1 = hydrogen, the remainder of the group -Y-A-Z must not be unsubstituted or C_{1-6} -alkoxy substituted phenyl, unsubstituted C_{3-8} -cycloalkyl or unsubstituted C_{1-6} -alkyl;

when X is -CO-, Y is -O-, A is -CH₂-, Z is phenyl, $R^1=R^2=R^4=R^5=R^6$ =hydrogen, $m=n=p=0$ and $q=1$, R^3 must not be hydrogen, ethyl, or isopropyl ~~or phenyl~~;
or any optical or geometric isomer or tautomeric form thereof or a pharmaceutically acceptable salt thereof.

103. (Previously presented) A compound of claim 102, wherein R^1 = hydrogen.

104. (Previously presented) A compound of claim 102, wherein X is -C(=O)-.

105. (Previously presented) A compound of claim 102, wherein A is a valence bond, methylene, ethylene or propylene.

106. (Previously presented) A compound of claim 102, wherein Z is -NR¹³R¹⁴, -CHR¹³R¹⁴ or -CR¹³R¹⁴R¹⁵.

107. (Previously presented) A compound of claim 102, wherein Z is C_{1-6} -alkyl, optionally substituted with C_{1-6} -alkyl, C_{1-6} -alkoxy, C_{1-6} -alkylthio, aryl- C_{1-6} -alkyl, heteroaryl- C_{1-6} -alkyl, nitro, arylamino, heteroarylamino, aroyl, heteroaroyl, arylsulfonyl, heteroarylsulfonyl, C_{1-6} -alkylsulfonyl, sulfonylamino, arylthio, heteroarylthio, aryloxy, acylamino, hydroxy,

Attorney Docket No. 5390.200-US
Dorwald et al
Serial No. 09/548,081 Filed April 12, 2000

amino, C₁₋₆-alkylamino, di(C₁₋₆-alkyl)amino, halogen, cyano, trifluoromethoxy or trifluoromethyl,

108. (Cancelled)

109. (Cancelled)

110. (Previously presented) A compound of claim 102, wherein Z is -NR¹³R¹⁴, in which R¹³ and R¹⁴ are both phenyl, which phenyl groups are joined with a C₁₋₄-alkylene group to form a tricyclic ring system.

111. (Previously presented) A compound of claim 102, wherein Z is -CHR¹³R¹⁴, in which R¹³ is C₁₋₆-alkyl or phenyl and R¹⁴ is phenyl, or R¹³ and R¹⁴ are both C₁₋₆-alkyl which are joined with C₁₋₄-alkylene linkers to form a polycarbocyclic ring system.

112. (Previously presented) A compound of claim 102, wherein Z is -CR¹³R¹⁴R¹⁵, in which R¹³, R¹⁴ and R¹⁵ are C₁₋₆-alkyl which are joined with C₁₋₄-alkylene linkers to form a polycarbocyclic ring system.

113 (Cancelled)

114. (Previously presented) A compound of claim 102, wherein R³ and R⁴ are both hydrogen or are both C₁₋₆-alkyl, or R³ and R⁴, together with the carbon atom to which they are connected, form a C₃₋₈-cycloalkyl ring, or one of R³ and R⁴ is hydrogen while the other is C₃₋₈-cycloalkyl substituted C₁₋₆-alkyl.

115. (Previously presented) A compound of claim 102, wherein R³ and R⁴, are hydrogen.

116. (Cancelled)

117. (Cancelled)

118. (Cancelled)

119. (Cancelled)

120. (Cancelled)

Attorney Docket No. 5390.200-US
Dorwald et al.
Serial No. 09/548,081 Filed April 12, 2000

121. (Previously presented) A compound of claim 102, wherein Z is C₁₋₆-alkyl, cyclopentyl, cyclohexyl, cyclohexenyl, oxazolyl, which are optionally substituted with one to three substituents selected from the group consisting of C₁₋₆-alkyl, C₁₋₆-alkoxy, halogen, phenyl, di(C₁₋₆-alkyl)amino, C₃₋₈-cyclopropanecarbonyl, trifluoromethoxy and trifluoromethyl.

122. (Previously presented) A compound of claim 102, wherein Z is cyclohexyl which is optionally substituted with C₁₋₆-alkyl, C₁₋₆-alkoxy, halogen, phenyl, di(C₁₋₆-alkyl)amino, C₃₋₈-cyclopropanecarbonyl, trifluoromethoxy and trifluoromethyl.

123. (Cancelled)

124. (Previously presented) A composition comprising, as an active ingredient, an effective amount of at least one compound of claim 102, together with one or more pharmaceutically acceptable carriers or diluents.

125. (Previously presented) The composition of claim 124 in unit dosage form, comprising from about 0.05 mg to about 1000 mg of the compound.

126. (Previously presented) The composition of claim 124 in unit dosage form, comprising from about 0.1 mg to about 500 mg of the compound.

127. (Previously presented) The composition of claim 124 in unit dosage form, comprising from about 0.5 mg to about 200 mg of the compound.

128. (Previously presented) A method of treating overweight or obesity comprising administering to a subject in need thereof a composition of claim 124.

129. (Previously presented) A method of treating overweight or obesity comprising administering to a subject in need thereof the compound of claim 102.

Attorney Docket No. 5390 200-US
Dorwald et al.
Serial No. 09/548,081 Filed April 12, 2000

130. (Previously presented) The compound of claim 102, wherein heteroaryl is selected from furyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, pyranyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, 1,2,3-triazinyl, 1,2,4-triazinyl, 1,3,5-triazinyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, tetrazolyl, thiadiazinyl, indolyl, isoindolyl, benzofuryl, benzothienyl, benzothiophenyl, indazolyl, benzimidazolyl, benzthiazolyl, benzisothiazolyl, benzisoxazolyl, purinyl, quinazolinyl, quinoliziny, quinoliny, isoquinoliny, quinoxaliny, naphthyridiny, pteridiny, carbazolyl, azepiny, diazepiny, acridiny, pyrroliny, pyrazoliny, indoliny, pyrrolidiny, piperidiny, piperaziny, azepiny, diazepiny, morpholiny, thiomorpholiny, oxazolidiny, oxazoliny, oxazepiny, aziridiny and tetrahydrofurany.

131. (Previously presented) The compound of claim 102, wherein heteroaryl is selected from furyl, thienylcarbonyl, pyridoyl, oxazolylcarbonyl, benzofurylcarbonyl, benzimidazolylcarbonyl, pyrroliny, azepiny, pyrrolylcarbonyl, thiazolylcarbonyl, imidazolylcarbonyl, isoxazolylcarbonyl, isothiazolylcarbonyl, 1,2,3-triazolylcarbonyl, 1,2,4-triazolylcarbonyl, pyranylcarbonyl, pyridazinylcarbonyl, pyrimidinylcarbonyl, pyrazinylcarbonyl, 1,2,3-triazinylcarbonyl, 1,2,4-triazinylcarbonyl, 1,3,5-triazinylcarbonyl, 1,2,3-oxadiazolylcarbonyl, 1,2,4-oxadiazolylcarbonyl, 1,2,5-oxadiazolylcarbonyl, 1,2,3-thiadiazolylcarbonyl, 1,2,4-thiadiazolylcarbonyl, 1,2,5-thiadiazolylcarbonyl, 1,3,4-thiadiazolylcarbonyl, tetrazolylcarbonyl, thiadiazinylcarbonyl, indolylcarbonyl, isoindolylcarbonyl, benzothienylcarbonyl, benzothiophenylcarbonyl, indazolylcarbonyl, benzthiazolylcarbonyl, benzisothiazolylcarbonyl, benzisoxazolylcarbonyl, purinylcarbonyl, quinazolinylcarbonyl, quinoliziny, quinoliny, isoquinoliny, quinoxaliny, naphthyridiny, pteridiny, carbazolylcarbonyl, azepiny, diazepiny, acridiny, pyrroliny, pyrazoliny, indoliny, piperidiny, piperaziny, azepiny, diazepiny, morpholiny, thiomorpholiny, oxazolidiny, oxazoliny, oxazepiny, aziridiny and tetrahydrofurany.

Attorney Docket No. 5390.200-US
Dorwald et al.
Serial No. 09/548,081 Filed April 12, 2000

132. (Previously presented) The compound of claim 102, wherein heteroaryl-amino is selected from furanyl-amino, thienyl-amino, pyridyl-amino, oxazolyl-amino, benzofuryl-amino, benzimidazolyl-amino, pyrrolinyl-amino, azepinyl-amino, pyrrolyl-amino, thiazolyl-amino, imidazolyl-amino, isoxazolyl-amino, isothiazolyl-amino, 1,2,3-triazolyl-amino, 1,2,4-triazolyl-amino, pyranyl-amino, pyridazinyl-amino, pyrimidinyl-amino, pyrazinyl-amino, 1,2,3-triazinyl-amino, 1,2,4-triazinyl-amino, 1,3,5-triazinyl-amino, 1,2,3-oxadiazolyl-amino, 1,2,4-oxadiazolyl-amino, 1,2,5-oxadiazolyl-amino, 1,2,3-thiadiazolyl-amino, 1,2,4-thiadiazolyl-amino, 1,2,5-thiadiazolyl-amino, 1,3,4-thiadiazolyl-amino, tetrazolyl-amino, thiadiazinyl-amino, indolyl-amino, isoindolyl-amino, benzothienyl-amino, benzothiophenyl-amino, indazolyl-amino, benzthiazolyl-amino, benzisothiazolyl-amino, benzisoxazolyl-amino, purinyl-amino, quinazolinyl-amino, quinolizinyl-amino, quinolinyl-amino, isoquinolinyl-amino, quinoxalinyl-amino, naphthyridinyl-amino, pteridinyl-amino, carbazolyl-amino, azepinyl-amino, diazepinyl-amino, acridinyl-amino, pyrazolinyl-amino, indolinyl-amino, pyrrolidinyl-amino, piperidinyl-amino, piperazinyl-amino, diazepinyl-amino, morpholinyl-amino, thiomorpholinyl-amino, oxazolidinyl-amino, oxazolinyl-amino, oxazepinyl-amino, aziridinyl-amino and tetrahydrofuranyl-amino.